

=>
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Jean

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 10:55:51 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 12 TO ITERATE

100.0% PROCESSED 12 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 33 TO 447
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 10:55:59 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 206 TO ITERATE

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SEARCH TIME: 00.00.01

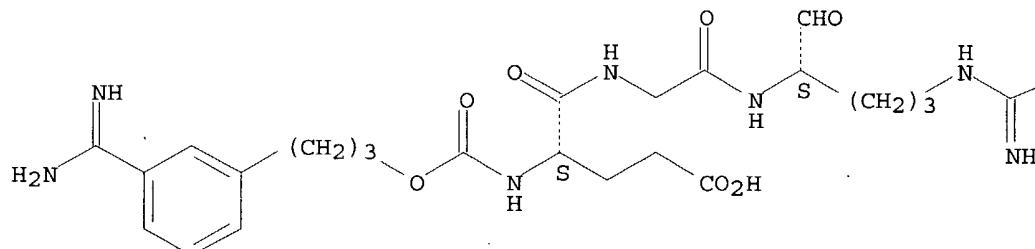
L3 1 SEA SSS FUL L1

=> d

L3 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS
RN 403669-25-0 REGISTRY
CN Glycinamide, N-[3-[3-(aminoiminomethyl)phenyl]propoxy]carbonyl-L-.alpha.-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H36 N8 O7
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PAGE 1-A



-NH₂

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

=> file caplus	SINCE FILE	TOTAL
COST IN U.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	141.86	142.07

FILE 'CAPLUS' ENTERED AT 10:56:15 ON 25 JUL 2002
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FILE COVERS 1907 - 25 Jul 2002 VOL 137 ISS 4
FILE LAST UPDATED: 24 Jul 2002 (20020724/ED)

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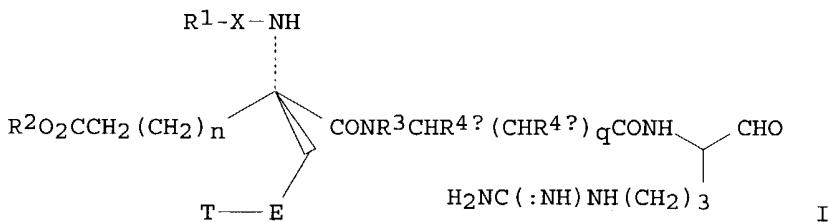
=> s l3 full
L4 1 L3

=> d ibib abs hitstr

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:185072 CAPLUS
DOCUMENT NUMBER: 136:232549
TITLE: Preparation of peptides as inhibitors of serine protease activity of matriptase or MTSP1
INVENTOR(S): Duncan, David F.; Madison, Edwin L.; Semple, Joseph Edward; Coombs, Gary Samuel; Reiner, John Eugene; Ong, Edgar O.; Araldi, Gian Luca
PATENT ASSIGNEE(S): Corvas International, Inc., USA
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020475	A2	20020314	WO 2001-US28137	20010907
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2000-657986	A 20000908
OTHER SOURCE(S): MARPAT 136:232549				
GI				

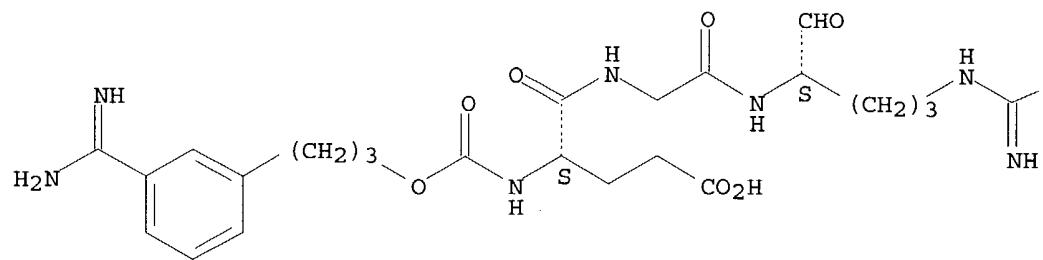


AB The invention provides compds. I [X = CO, CO₂, CONH, SO₂, SO₂NH or a direct link; R₁ = (un)substituted alkyl, cycloalkyl, aryl, heterocycloalkyl, H when X is CONH, SO₂, SO₂NH or a direct link, etc.; R₂ = H, alkyl; n = 0-3; R₃ = H, Me; R_{4a}, R_{4b} = H, alkyl; q = 0-2; when q = 0, R₃ and R_{4a} form prolyl or prolyl derivs., pipecolyl, or azetidine-2-carbonyl groups which are in the S-configuration; E is a 5- or 6-membered arom. ring having 0-2 ring heteroatoms; T is H, OH, CH₂OH, alkyl, cyano, an amidino, guanidino, amino or carbamoyl deriv.] which inhibit serine protease activity of matriptase or MTSP1. Also provided are pharmaceutical compns. for treating conditions ameliorated by inhibition of matriptase or MTSP1. Thus, (R)-5-[3-(diaminomethyl)phenyl]-4-[(1-formyl-(S)-4-guanidinobutylcarbamoylmethyl)carbamoyl]-4-(methoxycarbonylamino)pentanoic acid tert-Bu ester was prep'd. and showed IC₅₀ < 100 nM for inhibition of matriptase activity.

IT 403669-25-0P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prep'n. of peptides as inhibitors of serine protease activity of matriptase or MTSP1)
 RN 403669-25-0 CAPLUS
 CN Glycinamide, N-[[3-[3-(aminoiminomethyl)phenyl]propoxy]carbonyl]-L-.alpha.-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

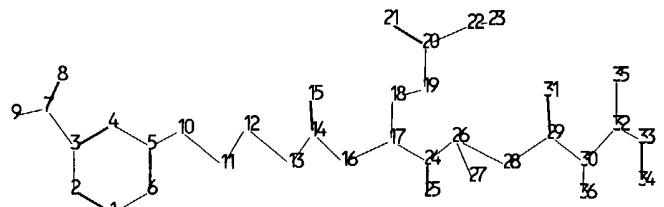
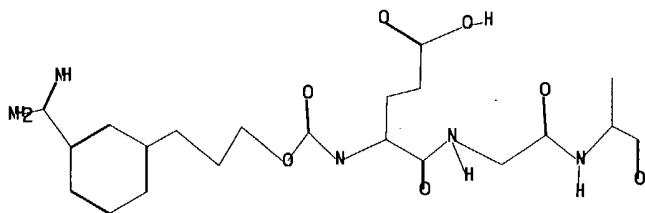
PAGE 1-A



PAGE 1-B

 NH₂

C:\STNEXP4\QUERIES\ho ho.str



chain nodes :

7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24 25 26 27 28 29 30
31 32 33 34 35 36

ring nodes :

1 2 3 4 5 6

chain bonds :

3-7 5-10 7-8 7-9 10-11 11-12 12-13 13-14 14-15 14-16 16-17 17-18 17-24 18-19
19-20 20-21 20-22 22-23 24-25 24-26 26-27 26-28 28-29 29-30 29-31 30-32 30-36
32-33 32-35 33-34

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

7-8 7-9 12-13 13-14 14-15 14-16 16-17 24-25 24-26 26-28 29-30 29-31 30-32
33-34

exact bonds :

3-7 5-10 10-11 11-12 17-18 17-24 18-19 19-20 22-23 26-27 28-29 30-36 32-33
32-35

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 20-21 20-22

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS
29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 34:CLASS 35:CLASS 36:CLASS

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FILE RELOADED ON APRIL 8, 2002

FILE COVERS 1779 TO 2001.

*** FILE CONTAINS 8,128,462 SUBSTANCES ***

>>> For the revised summary sheet please see:
[<<<](http://info.cas.org/ONLINE/DBSS/beilsteinss.html)

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=> s 11 full
FULL SEARCH INITIATED 10:58:09 FILE 'BEILSTEIN'
FULL SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.03

L5 0 SEA SSS FUL L1

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